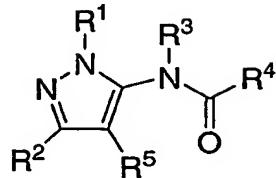


WHAT IS CLAIMED IS:

1. A compound of the formula I:



I

5 wherein:

R¹ is selected from the group consisting of:

- (1) hydrogen,
- (2) C₁-6alkyl, which is unsubstituted or substituted with halogen, hydroxyl or phenyl,
- 10 (3) C₃-7cycloalkyl, which is unsubstituted or substituted with halogen, hydroxyl or phenyl, and
- (4) phenyl, which is unsubstituted or substituted with one or more substituents independently selected from:
 - (a) -C₁-6alkyl,
 - (b) -O-C₁-6alkyl,
 - (c) halo,
 - (d) hydroxy,
 - (e) trifluoromethyl,
 - (f) -OCF₃,
 - 20 (g) -CO₂R⁹,

wherein R⁹ is independently selected from:

- (i) hydrogen,
- (ii) -C₁-6alkyl, which is unsubstituted or substituted with 1-6 fluoro,
- (iii) benzyl, and
- 25 (iv) phenyl,
- (h) -NR¹⁰R¹¹,

wherein R¹⁰ and R¹¹ are independently selected from:

- (i) hydrogen,
- (ii) -C₁-6alkyl, which is unsubstituted or substituted with 1-6 fluoro,
- 30 (iii) -C₅-6cycloalkyl,

5

- (iv) benzyl,
- (v) phenyl,
- (vi) -S(O)2-C₁₋₆alkyl,
- (vii) -S(O)2-benzyl, and
- (viii) -S(O)2-phenyl,

- (i) -CONR₁₀R₁₁, and
- (j) -NO₂;

10

(5) heterocycle, wherein heterocycle is selected from:
benzimidazolyl, benzimidazolonyl, benzofuranyl, benzofurazanyl,
benzopyrazolyl, benzotriazolyl, benzothiophenyl, benzoxazolyl, carbazolyl,
carbolinyl, cinnolinyl, furanyl, imidazolyl, indolinyl, indolyl, indolazinyl,
indazolyl, isobenzofuranyl, isoindolyl, isoquinolyl, isothiazolyl, isoxazolyl,
15 naphthypyridinyl, oxadiazolyl, oxazolyl, oxazoline, isoxazoline, oxetanyl, pyranyl,
pyrazinyl, pyrazolyl, pyridazinyl, pyridopyridinyl, pyridazinyl, pyridyl, pyrimidyl,
pyrrolyl, quinazolinyl, quinolyl, quinoxalinyl, tetrahydropyranyl, tetrazolyl,
tetrazolopyridyl, thiadiazolyl, thiazolyl, thienyl, triazolyl, azetidinyl, 1,4-dioxanyl,
hexahydroazepinyl, piperazinyl, piperidinyl, pyridin-2-onyl, pyrrolidinyl,
20 morpholinyl, thiomorpholinyl, dihydrobenzoimidazolyl, dihydrobenzofuranyl,
dihydrobenzothiophenyl, dihydrobenzoxazolyl, dihydrofuranyl,
dihydroimidazolyl, dihydroindolyl, dihydroisooxazolyl, dihydroisothiazolyl,
dihydrooxadiazolyl, dihydrooxazolyl, dihydropyrazinyl, dihydropyrazolyl,
dihydropyridinyl, dihydropyrimidinyl, dihydropyrrolyl, dihydroquinolinyl,
dihydrotetrazolyl, dihydrothiadiazolyl, dihydrothiazolyl, dihydrothienyl,
dihydrotriazolyl, dihydroazetidinyl, methylenedioxybenzoyl, tetrahydrofuranyl,
25 and tetrahydrothienyl, and N-oxides thereof, which is unsubstituted or substituted
with one or more substituents independently selected from:
(a) -C₁₋₆alkyl,
(b) -O-C₁₋₆alkyl,
(c) halo,
30 (d) hydroxy,
(e) phenyl,
(f) trifluoromethyl,
(g) -OCF₃,
(h) -CO₂R⁹,

- (i) $-\text{NR}^{10}\text{R}^{11}$, and
- (j) $-\text{CONR}^{10}\text{R}^{11}$;

R^2 and R^5 are independently selected from the group consisting of:

- 5 (1) hydrogen,
- (2) $\text{C}_1\text{-6alkyl}$, which is unsubstituted or substituted with halogen, hydroxyl or phenyl,
- (3) $\text{C}_3\text{-7cycloalkyl}$, which is unsubstituted or substituted with halogen, hydroxyl or phenyl, and
- (4) phenyl, which is unsubstituted or substituted with one or more substituents

10 independently selected from:

- (a) $-\text{C}_1\text{-6alkyl}$, which is unsubstituted or substituted with $-\text{NR}^{10}\text{R}^{11}$,
- (b) $-\text{O-C}_1\text{-6alkyl}$,
- (c) halo,
- 15 (d) hydroxy,
- (e) trifluoromethyl,
- (f) $-\text{OCF}_3$;
- (g) $-\text{CO}_2\text{R}^9$,
- (h) $-\text{NR}^{10}\text{R}^{11}$,
- (i) $-\text{C}(\text{O})\text{NR}^{10}\text{R}^{11}$, and
- (j) $-\text{NO}_2$,

20 (5) heterocycle, wherein heterocycle is selected from:
benzimidazolyl, benzimidazolonyl, benzofuranyl, benzofurazanyl,
benzopyrazolyl, benzotriazolyl, benzothiophenyl, benzoxazolyl, carbazolyl,
25 carbolinyl, cinnolinyl, furanyl, imidazolyl, indolinyl, indolyl, indolazinyl,
indazolyl, isobenzofuranyl, isoindolyl, isoquinolyl, isothiazolyl, isoxazolyl,
naphthpyridinyl, oxadiazolyl, oxazolyl, oxazoline, isoxazoline, oxetanyl, pyranyl,
pyrazinyl, pyrazolyl, pyridazinyl, pyridopyridinyl, pyridazinyl, pyridyl, pyrimidyl,
30 pyrrolyl, quinazolinyl, quinolyl, quinoxalinyl, tetrahydropyranyl, tetrazolyl,
tetrazolopyridyl, thiadiazolyl, thiazolyl, thienyl, triazolyl, azetidinyl, 1,4-dioxanyl,
hexahydroazepinyl, piperazinyl, piperidinyl, pyridin-2-onyl, pyrrolidinyl,
morpholinyl, thiomorpholinyl, dihydrobenzoimidazolyl, dihydrobenzofuranyl,
dihydrobenzothiophenyl, dihydrobenzoxazolyl, dihydrofuranol,
35 dihydroimidazolyl, dihydroindolyl, dihydroisooxazolyl, dihydroisothiazolyl,

5 dihydrooxadiazolyl, dihydrooxazolyl, dihydropyrazinyl, dihydropyrazolyl, dihydropyridinyl, dihydropyrimidinyl, dihydropyrrolyl, dihydroquinolinyl, dihydrotetrazolyl, dihydrothiadiazolyl, dihydrothiazolyl, dihydrothienyl, dihydrotriazolyl, dihydroazetidinyl, methylenedioxybenzoyl, tetrahydrofuranyl, and tetrahydrothienyl, and N-oxides thereof, which is unsubstituted or substituted with one or more substituents independently selected from:

- (a) -C₁₋₆alkyl,
- (b) -O-C₁₋₆alkyl,
- (c) halo,
- 10 (d) hydroxy,
- (e) phenyl,
- (f) trifluoromethyl,
- (g) -OCF₃;
- (h) -CO₂R⁹,
- 15 (i) -NR¹⁰R¹¹, and
- (j) -CONR¹⁰R¹¹;

R³ is independently selected from the group consisting of:

- (1) hydrogen, and
- 20 (2) -C₁₋₆alkyl;

R⁴ is selected from the group consisting of:

- (1) C₁₋₆alkyl, which is unsubstituted or substituted with halogen, hydroxyl, phenyl or heterocycle,
- 25 (2) C₃₋₇cycloalkyl, which is unsubstituted or substituted with halogen, hydroxyl or phenyl, and
- (3) phenyl, which is unsubstituted or substituted with one or more substituents independently selected from:
 - (a) -C₁₋₆alkyl,
 - (b) -O-C₁₋₆alkyl,
 - (c) halo,
 - (d) hydroxy,
 - (e) trifluoromethyl,
 - 30 (f) -OCF₃,

- (g) $-\text{CO}_2\text{R}^9$,
- (h) $-\text{CN}$,
- (i) $-\text{NR}^{10}\text{R}^{11}$,
- (j) $-\text{CONR}^{10}\text{R}^{11}$, and
- 5 (k) $-\text{NO}_2$;

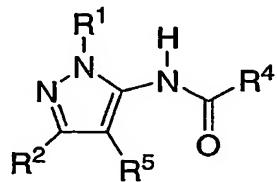
(4) heterocycle, wherein heterocycle is selected from:

benzimidazolyl, benzimidazolonyl, benzofuranyl, benzofurazanyl,
benzopyrazolyl, benzotriazolyl, benzothiophenyl, benzoxazolyl, carbazolyl,
carbolinyl, cinnolinyl, furanyl, imidazolyl, indolinyl, indolyl, indolazinyl,
10 indazolyl, isobenzofuranyl, isoindolyl, isoquinolyl, isothiazolyl, isoxazolyl,
naphthpyridinyl, oxadiazolyl, oxazolyl, oxazoline, isoxazoline, oxetanyl, pyranyl,
pyrazinyl, pyrazolyl, pyridazinyl, pyridopyridinyl, pyridazinyl, pyridyl, pyrimidyl,
pyrrolyl, quinazolinyl, quinolyl, quinoxalinyl, tetrahydropyranyl, tetrazolyl,
15 tetrazolopyridyl, thiadiazolyl, thiazolyl, thienyl, triazolyl, azetidinyl, 1,4-dioxanyl,
hexahydroazepinyl, piperazinyl, piperidinyl, pyridin-2-onyl, pyrrolidinyl,
morpholinyl, thiomorpholinyl, dihydrobenzoimidazolyl, dihydrobenzofuranyl,
dihydrobenzothiophenyl, dihydrobenzoxazolyl, dihydrofuranyl,
20 dihydroimidazolyl, dihydroindolyl, dihydroisooxazolyl, dihydroisothiazolyl,
dihydrooxadiazolyl, dihydrooxazolyl, dihydropyrazinyl, dihydropyrazolyl,
dihydropyridinyl, dihydropyrimidinyl, dihydropyrrolyl, dihydroquinolinyl,
dihydrotetrazolyl, dihydrothiadiazolyl, dihydrothiazolyl, dihydrothienyl,
dihydrotriazolyl, dihydroazetidinyl, methylenedioxybenzoyl, tetrahydrofuranyl,
and tetrahydrothienyl, and N-oxides thereof, which is unsubstituted or substituted
25 with one or more substituents independently selected from:

- (a) $-\text{C}_1\text{-6alkyl}$,
- (b) $-\text{O-C}_1\text{-6alkyl}$,
- (c) halo,
- (d) hydroxy,
- (e) phenyl,
- 30 (f) trifluoromethyl,
- (g) $-\text{OCF}_3$,
- (h) $-\text{CO}_2\text{R}^9$,
- (i) $-\text{NR}^{10}\text{R}^{11}$, and
- (j) $-\text{CONR}^{10}\text{R}^{11}$;

and pharmaceutically acceptable salts thereof and individual diastereomers thereof.

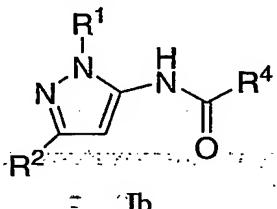
2. The compound of Claim 1 of the formula Ia:



Ia

5 and pharmaceutically acceptable salts thereof and individual enantiomers and diastereomers thereof.

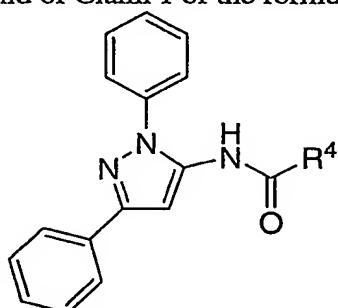
3. The compound of Claim 1 of the formula Ib:



Ib

10 and pharmaceutically acceptable salts thereof and individual enantiomers and diastereomers thereof.

15 4. The compound of Claim 1 of the formula Ic:



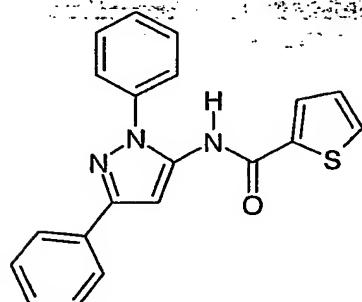
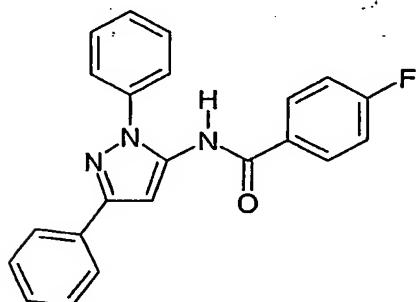
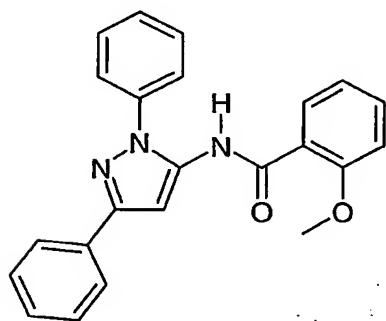
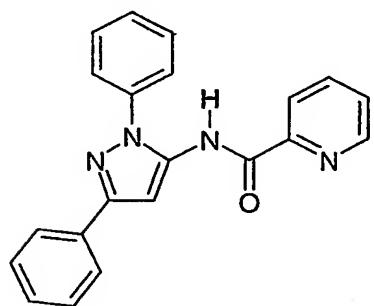
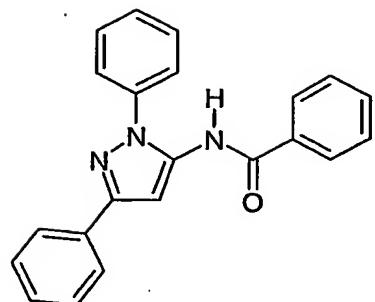
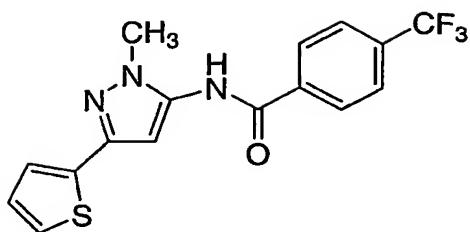
Ic

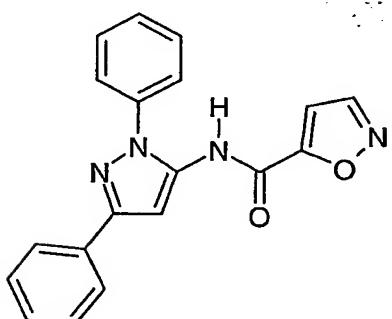
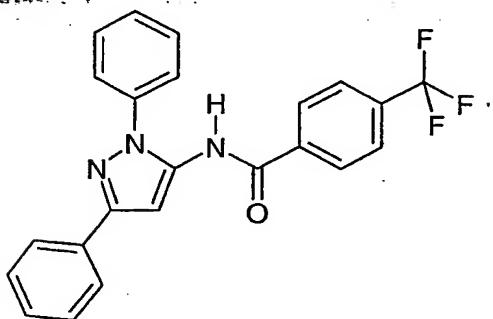
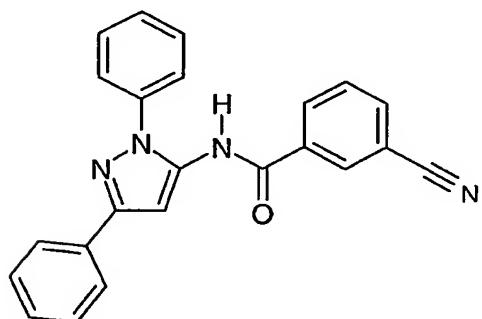
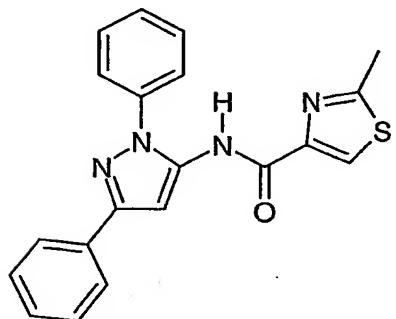
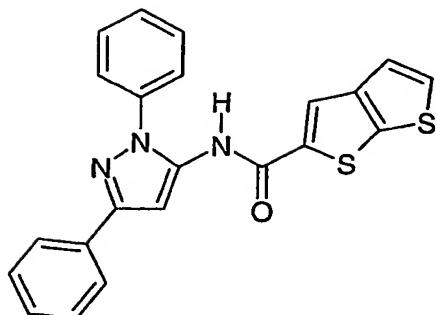
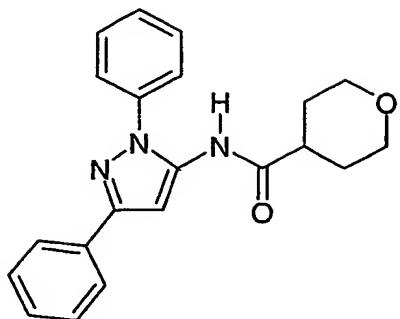
and pharmaceutically acceptable salts thereof and individual enantiomers and diastereomers thereof.

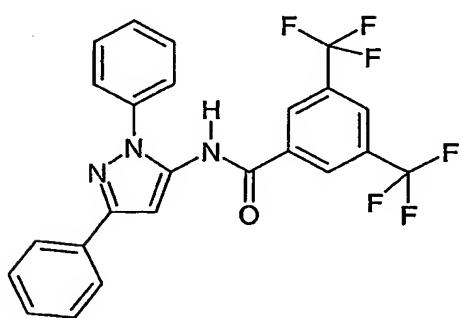
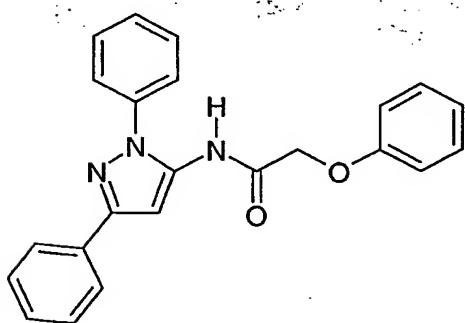
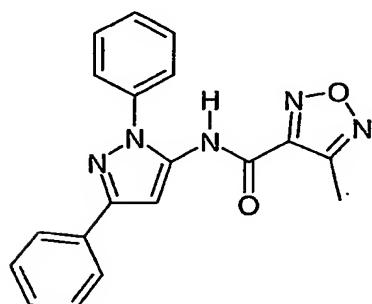
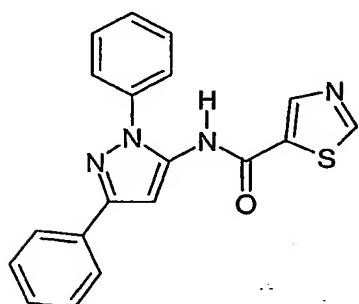
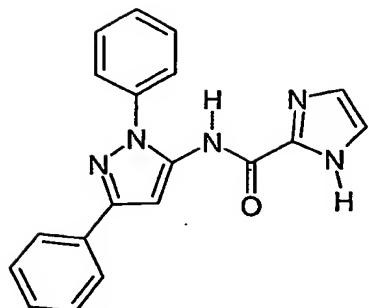
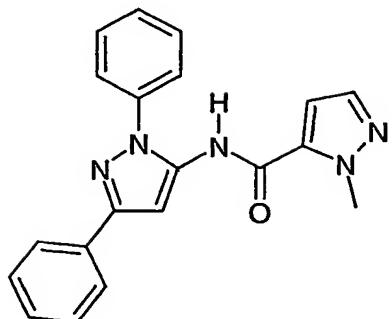
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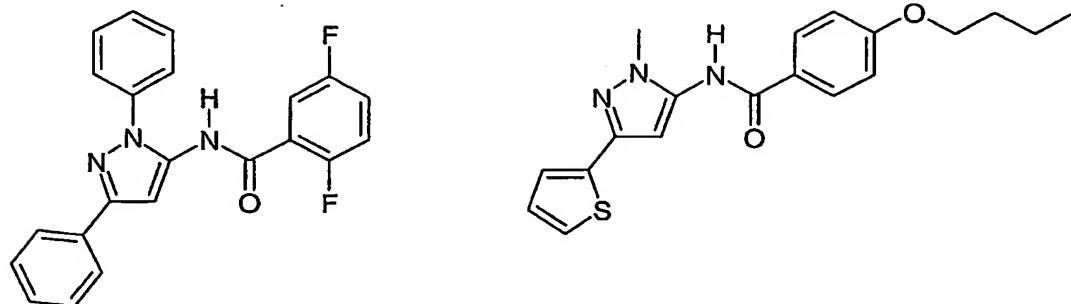
5. The compound of Claim 1 wherein R¹ is hydrogen.

6. The compound of Claim 1 wherein R¹ is phenyl.
7. The compound of Claim 1 wherein R² is phenyl.
- 5 8. The compound of Claim 1 wherein R³ is hydrogen.
9. The compound of Claim 1 wherein R⁴ is phenyl, which is unsubstituted or substituted with one or more substituents independently selected from:
 - 10 (a) -C₁₋₆alkyl,
 - (b) -O-C₁₋₆alkyl,
 - (c) halo,
 - (d) hydroxy,
 - (e) trifluoromethyl,
 - 15 (f) -OCF₃;
 - (g) -CO₂-C₁₋₆alkyl,
 - (h) -CN,
 - (i) -NH₂,
 - (j) -NH-C₁₋₆alkyl,
 - 20 (k) -CONH₂, and
 - (l) -CONH-C₁₋₆alkyl.
10. The compound of Claim 9 wherein R⁴ is phenyl, which is unsubstituted or substituted with halo or -CN.
- 25 11. The compound of Claim 10 wherein R⁴ is phenyl.
12. The compound of Claim 1 wherein R⁴ is pyridyl.
- 30 13. The compound of Claim 1 wherein R⁵ is hydrogen.
14. A compound which is selected from the group consisting of:









and pharmaceutically acceptable salts thereof.

15. A pharmaceutical composition which comprises an inert carrier and a compound of Claim 1.

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16. A method for potentiation or inhibition of metabotropic glutamate receptor activity in a mammal which comprises the administration of an effective amount of the compound of Claim 1.

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17. A method for the manufacture of a medicament for potentiation or inhibition of metabotropic glutamate receptor activity in a mammal comprising combining the compound of Claim 1 with a pharmaceutical carrier or diluent.

15

18. A method for treating a neurological and psychiatric disorders associated with glutamate dysfunction in a mammalian patient in need of such which comprises administering to the patient a therapeutically effective amount of a compound of Claim 1.

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19. A method for treating schizophrenia in a mammalian patient in need of such which comprises administering to the patient a therapeutically effective amount of a compound of Claim 1.

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